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(54) **Derivatized oligonucleotides having improved uptake and other properties**

(57) Linked nucleosides having at least one functionalized nucleoside that bears a substituent such as a steroid molecule, a reporter molecule, a non-aromatic lipophilic molecule, a reporter enzyme, a peptide, a protein, a water soluble vitamin, a lipid soluble vitamin, an RNA cleaving complex, a metal chelator, a porphyrin, an alkylator, a pyrene, a hybrid photonuclease/intercalator, or an aryl azide photocrosslinking agent exhibit increased cellular uptake and other properties. The substituent can be attached at the 2'-position of the func-

tionalized nucleoside *via* a linking group. If at least a portion of the remaining linked nucleosides are 2'-deoxy-2'-fluoro, 2'-O-methoxy, 2'-O-ethoxy, 2'-O-propoxy, 2'-O-aminoalkoxy or 2'-O-allyloxy nucleosides, the substituent can be attached *via* a linking group at any of the 3'- or the 5'-positions of the nucleoside or on the heterocyclic base of the nucleoside or on the inter-nucleotide linkage linking the nucleoside to an adjacent nucleoside.

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# PARTIAL EUROPEAN SEARCH REPORT

Application Number

which under Rule 45 of the European Patent Convention EP 03 07 6286 shall be considered, for the purposes of subsequent proceedings, as the European search report

| DOCUMENTS CONSIDERED TO BE RELEVANT                                                                                                                                                                                                                                                                                                                                                                                                                            |                                                                                                                                                                                                                    |                                                                                                                                                                                                                                                                                                         |                                              |
|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|----------------------------------------------|
| Category                                                                                                                                                                                                                                                                                                                                                                                                                                                       | Citation of document with indication, where appropriate, of relevant passages                                                                                                                                      | Relevant to claim                                                                                                                                                                                                                                                                                       | CLASSIFICATION OF THE APPLICATION (Int.Cl.7) |
| X                                                                                                                                                                                                                                                                                                                                                                                                                                                              | WO 91 14696 A (GILEAD SCIENCES INC)<br>3 October 1991 (1991-10-03)<br>* page 14, line 5 - line 16 *<br>* page 11, line 2 - line 11 *<br>* page 18, line 7 - line 17; claims *                                      | 1-11                                                                                                                                                                                                                                                                                                    | A61K31/70<br>C07H21/00<br>A61K47/48          |
| X                                                                                                                                                                                                                                                                                                                                                                                                                                                              | GOODCHILD J: "CONJUGATES OF OLIGONUCLEOTIDES AND MODIFIED OLIGONUCLEOTIDES: A REVIEW OF THEIR SYNTHESIS AND PROPERTIES" BIOCONJUGATE CHEMISTRY, vol. 1, no. 3, 1 May 1990 (1990-05-01), pages 165-187, XP000174627 | 1-11                                                                                                                                                                                                                                                                                                    |                                              |
| Y                                                                                                                                                                                                                                                                                                                                                                                                                                                              | * page 176, column 2, paragraph D; tables IV,V,VI *                                                                                                                                                                | 1-11                                                                                                                                                                                                                                                                                                    |                                              |
|                                                                                                                                                                                                                                                                                                                                                                                                                                                                |                                                                                                                                                                                                                    |                                                                                                                                                                                                                                                                                                         | TECHNICAL FIELDS SEARCHED (Int.Cl.7)         |
|                                                                                                                                                                                                                                                                                                                                                                                                                                                                |                                                                                                                                                                                                                    |                                                                                                                                                                                                                                                                                                         | A61K                                         |
| <b>INCOMPLETE SEARCH</b>                                                                                                                                                                                                                                                                                                                                                                                                                                       |                                                                                                                                                                                                                    |                                                                                                                                                                                                                                                                                                         |                                              |
| <p>The Search Division considers that the present application, or one or more of its claims, does/do not comply with the EPC to such an extent that a meaningful search into the state of the art cannot be carried out, or can only be carried out partially, for these claims.</p> <p>Claims searched completely :</p> <p>Claims searched incompletely :</p> <p>Claims not searched :</p> <p>Reason for the limitation of the search:</p> <p>see sheet C</p> |                                                                                                                                                                                                                    |                                                                                                                                                                                                                                                                                                         |                                              |
| Place of search                                                                                                                                                                                                                                                                                                                                                                                                                                                |                                                                                                                                                                                                                    | Date of completion of the search                                                                                                                                                                                                                                                                        | Examiner                                     |
| The Hague                                                                                                                                                                                                                                                                                                                                                                                                                                                      |                                                                                                                                                                                                                    | 27 October 2003                                                                                                                                                                                                                                                                                         | Berte, M                                     |
| CATEGORY OF CITED DOCUMENTS                                                                                                                                                                                                                                                                                                                                                                                                                                    |                                                                                                                                                                                                                    | <p>T : theory or principle underlying the invention</p> <p>E : earlier patent document, but published on, or after the filing date</p> <p>D : document cited in the application</p> <p>L : document cited for other reasons</p> <p>&amp; : member of the same patent family, corresponding document</p> |                                              |
| <p>X : particularly relevant if taken alone</p> <p>Y : particularly relevant if combined with another document of the same category</p> <p>A : technological background</p> <p>O : non-written disclosure</p> <p>P : intermediate document</p>                                                                                                                                                                                                                 |                                                                                                                                                                                                                    |                                                                                                                                                                                                                                                                                                         |                                              |

EPO FORM 1503 03.82 (P04C07)



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**INCOMPLETE SEARCH  
SHEET C**

Application Number  
EP 03 07 6286

Reason for the limitation of the search:

Present claims 1-11 relate to an extremely large number of possible compounds. In fact, the claims contain so many options that a lack of clarity (and conciseness) within the meaning of Article 84 EPC arises to such an extent as to render a meaningful search of the claims impossible. Consequently, the search has been carried out for those parts of the application which do appear to be clear (and concise), namely compounds have been searched which are recited in the examples.



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| DOCUMENTS CONSIDERED TO BE RELEVANT |                                                                                                                                                                                                                                                                                                                                                                                                      |                   | CLASSIFICATION OF THE APPLICATION (Int.Cl.7) |
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For more details about this annex : see Official Journal of the European Patent Office, No. 12/82

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